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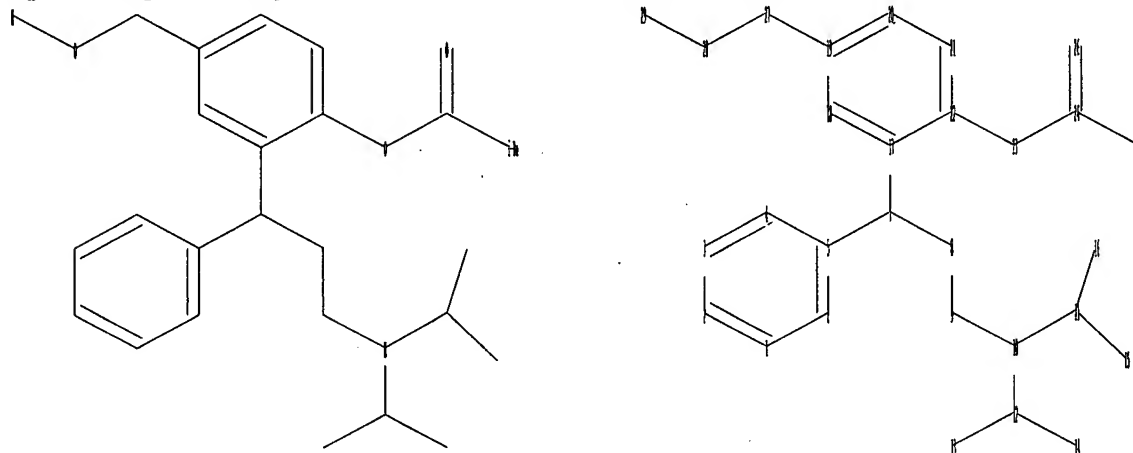
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FILE COVERS 1907 - 2 Nov 2006 VOL 145 ISS 19  
FILE LAST UPDATED: 1 Nov 2006 (20061101/ED)

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Uploading C:\Program Files\Stnexp\Queries\fesoterodine.str



chain nodes :  
7 8 9 10 11 12 13 14 15 16 23 24 25 26 27 28 29  
ring nodes :  
1 2 3 4 5 6 17 18 19 20 21 22  
chain bonds :  
5-7 7-8 7-17 8-9 9-10 10-11 10-12 11-15 11-16 12-13 12-14 19-27 22-23  
23-24 24-25 24-26 27-28 28-29  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22  
exact/norm bonds :  
9-10 10-11 10-12 22-23 23-24 24-26 27-28  
exact bonds :  
5-7 7-8 7-17 8-9 11-15 11-16 12-13 12-14 19-27 24-25 28-29  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

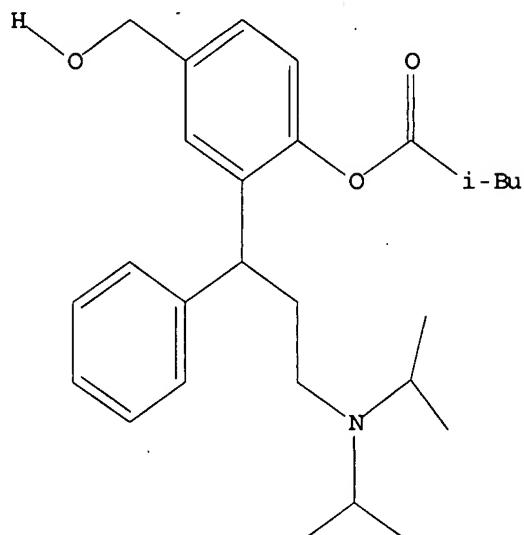
Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom  
19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS  
27:CLASS 28:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

SAMPLE SEARCH INITIATED 12:23:18 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 5 TO 234  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

L3 0 L2

=> file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
0.46	1.57

SBIB ----- BIB, no citations  
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms  
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)  
                  containing hit terms  
HITRN ----- HIT RN and its text modification  
HITSTR ----- HIT RN, its text modification, its CA index name, and  
                  its structure diagram  
HITSEQ ----- HIT RN, its text modification, its CA index name, its  
                  structure diagram, plus NTE and SEQ fields  
FHITSTR ----- First HIT RN, its text modification, its CA index name, and  
                  its structure diagram  
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
                  structure diagram, plus NTE and SEQ fields  
KWIC ----- Hit term plus 20 words on either side  
OCC ----- Number of occurrence of hit term and field in which it occurs

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ENTER DISPLAY FORMAT (BIB):bib abs

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:1133705 CAPLUS  
TI Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?  
AU Michel, Martin C.; Hegde, Sharath S.  
CS Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Meibergdreef 15, Amsterdam, 1105 AZ, Neth.  
SO Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85  
CODEN: NSAPCC; ISSN: 0028-1298  
PB Springer  
DT Journal  
LA English  
AB Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. We briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound. In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. We conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2006:76147 CAPLUS  
 DN 144:156740  
 TI Combinations of statins with bronchodilators for treatment of respiratory disorders  
 IN Lindmark, Bertil; Thoren, Anders Ingemar  
 PA AstraZeneca AB, Swed.; AstraZeneca UK Limited  
 SO PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006008437	A1	20060126	WO 2005-GB2413	20050620
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	RW:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI GB 2004-15789 A 20040715

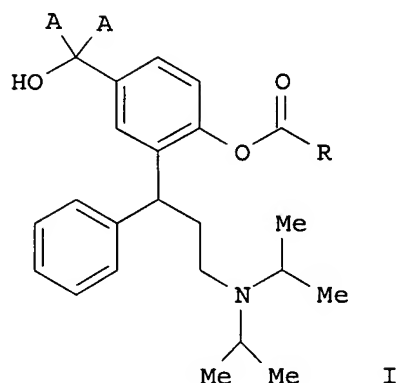
AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 µg, budesonide 160 µg, rosuvastatin 1 mg, and HFA 227 50 µL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 µg and budesonide 160 µg, and a tablet formulation containing rosuvastatin 10 mg.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:878361 CAPLUS  
 DN 141:370546  
 TI Highly pure bases of 3,3-diphenyl propylamine monoesters for use in transdermal delivery systems  
 IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland  
 PA Schwarz Pharma Ag, Germany  
 SO PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
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DE 10315917	A1	20041118	DE 2003-10315917	20030408
AU 2004228163	A1	20041021	AU 2004-228163	20040403
CA 2505848	AA	20041021	CA 2004-2505848	20040403
BR 2004006221	A	20050809	BR 2004-6221	20040403
EP 1613584	A1	20060111	EP 2004-725610	20040403
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1802345	A	20060712	CN 2004-80009224	20040403
JP 2006522758	T2	20061005	JP 2006-504989	20040403
US 2006014832	A1	20060119	US 2005-532836	20050426
NO 2005005078	A	20051031	NO 2005-5078	20051031
PRAI DE 2003-10315917	A	20030408		
WO 2004-EP3567	W	20040403		
OS MARPAT 141:370546				
GI				



AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:875349 CAPLUS  
DN 142:303234  
TI Mucosal adjuvants and delivery systems for oral and nasal vaccination  
AU Baudner, Barbara C.; Verhoel, J. Coos; Junginger, Hans E.; del Giudice, Giuseppe  
CS IRIS Research Center, Siena, 53100, Italy  
SO Drugs of the Future (2004), 29(7), 721-732  
CODEN: DRFUD4; ISSN: 0377-8282  
PB Prous Science  
DT Journal; General Review  
LA English

AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

RE.CNT 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:875348 CAPLUS  
DN 142:147630  
TI Fesoterodine, an advanced antimuscarinic for the treatment of  
overactive bladder: a safety update  
AU Cole, Patrick  
CS Medical Information Dept., Prous Science, Barcelona, 08080, Spain  
SO Drugs of the Future (2004), 29(7), 715-720  
CODEN: DRFUD4; ISSN: 0377-8282  
PB Prous Science  
DT Journal; General Review  
LA English

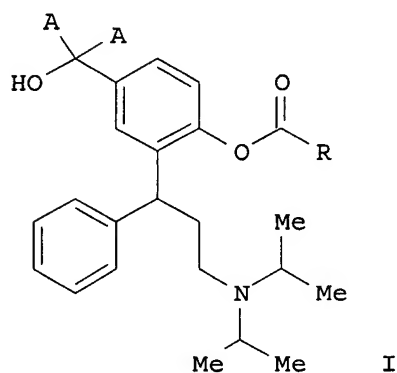
AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:872676 CAPLUS  
DN 141:337790

TI Transdermal administration of (R)-3,3-diphenylpropylamine monoesters  
 IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland  
 PA Schwarz Pharma Ag, Germany  
 SO PCT Int. Appl., 68 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089346	A1	20041021	WO 2004-EP3574	20040403
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	RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	DE 10315878	A1	20041104	DE 2003-10315878	20030408
	AU 2004228927	A1	20041021	AU 2004-228927	20040403
	CA 2505780	AA	20041021	CA 2004-2505780	20040403
	EP 1530461	A1	20050518	EP 2004-725614	20040403
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR	
	BR 2004006212	A	20050816	BR 2004-6212	20040403
	CN 1767820	A	20060503	CN 2004-80009176	20040403
	JP 2006522759	T2	20061005	JP 2006-504992	20040403
	ZA 2005002681	A	20051013	ZA 2005-2681	20050401
	US 2006029673	A1	20060209	US 2005-533683	20050426
	NO 2005004644	A	20051010	NO 2005-4644	20051010
PRAI	DE 2003-10315878	A	20030408		
	WO 2004-EP3574	W	20040403		
OS	MARPAT 141:337790				
GI					



AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of

formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5 weight/weight%

ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:761399 CAPLUS  
DN 141:254396  
TI Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study  
CS Chapple C1, Royal Hallamshire Hospital, UK  
SO Neuroourology and Urodynamics (2004), 23(5/6), 598-599  
CODEN: NEUREM; ISSN: 0733-2467  
PB Wiley-Liss, Inc.  
DT Journal  
LA English  
AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:993805 CAPLUS  
DN 140:331551  
TI Fesoterodine: Treatment of urinary incontinence muscarinic M3 antagonist  
AU Sorbera, L. A.; Castaner, J.; Lesson, P. A.  
CS Prous Science, Barcelona, 08080, Spain  
SO Drugs of the Future (2003), 28(7), 647-651  
CODEN: DRFUD4; ISSN: 0377-8282  
PB Prous Science  
DT Journal; General Review  
LA English  
AB A review. Urinary incontinence and overactive bladder are extremely common disorders affecting up to 12 and 20 million adults in the U.S., resp. Current pharmacotherapy includes peripherally acting compds. which modulate bladder smooth muscle contraction or centrally acting agents which modulate the neurol. control of urination. Anticholinergic agents inhibit bladder smooth muscle contraction through interference with acetylcholine action on muscarinic receptors on detrusor smooth muscle. However, the first anticholinergic agents were associated with a high rate of adverse events due to nonselectivity and targeting of several muscarinic subtypes and thus other organs. The search for novel, more bladder-selective antimuscarinic agents with better tolerability was initiated. Fesoterodine is a novel selective muscarinic M3 receptor antagonist that has shown potent antimuscarinic activity in vitro and in vivo and has been selected for further development as a treatment for urinary incontinence and overactive bladder.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:950829 CAPLUS  
DN 140:13084  
TI Combination of selected opioids with other active substances for use in the therapy of urinary incontinence  
IN Christoph, Thomas  
PA Grunenthal G.m.b.H., Germany  
SO PCT Int. Appl., 126 pp.



CODEN: PIXXD2  
DT Patent  
LA German  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	DE 10224107	A1	20031211	DE 2002-10224107	20020529
	AU 2003240717	A1	20031212	AU 2003-240717	20030527
	EP 1507520	A1	20050223	EP 2003-730120	20030527
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	US 2005137194	A1	20050623	US 2004-998164	20041129
	US 2006168942	A1	20060803	US 2005-545901	20050817
PRAI	DE 2002-10224107	A	20020529		
	WO 2003-EP5529	W	20030527		
OS	MARPAT 140:13084				

AB The invention discloses the use of a combination of opioids (e.g. tramadol) with other active substances for producing a drug for the treatment of urinary urgency or urinary incontinence. The invention also relates to corresponding medicaments and to a method for treating urinary urgency or urinary incontinence.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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---Logging off of STN---

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=> LOG Y

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FULL ESTIMATED COST	27.99	29.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

STN INTERNATIONAL LOGOFF AT 12:25:28 ON 02 NOV 2006

Connection closed by remote host

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS 3 AUG 09 INSPEC enhanced with 1898-1968 archive  
NEWS 4 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 5 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes  
NEWS 6 SEP 11 CA/CAplus enhanced with more pre-1907 records  
NEWS 7 SEP 21 CA/CAplus fields enhanced with simultaneous left and right  
truncation  
NEWS 8 SEP 25 CA(SM)/CAplus(SM) display of CA Lexicon enhanced  
NEWS 9 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates  
NEWS 10 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine  
NEWS 11 SEP 28 CEABA-VTB classification code fields reloaded with new  
classification scheme  
NEWS 12 OCT 19 LOGOFF HOLD duration extended to 120 minutes  
NEWS 13 OCT 19 E-mail format enhanced  
NEWS 14 OCT 23 Option to turn off MARPAT highlighting enhancements available  
NEWS 15 OCT 23 CAS Registry Number crossover limit increased to 300,000 in  
multiple databases  
NEWS 16 OCT 23 The Derwent World Patents Index suite of databases on STN  
has been enhanced and reloaded  
NEWS 17 OCT 30 CHEMLIST enhanced with new search and display field  
  
NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8  
NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 12:32:57 ON 02 NOV 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 12:33:15 ON 02 NOV 2006

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DICTIONARY FILE UPDATES: 1 NOV 2006 HIGHEST RN 912260-33-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

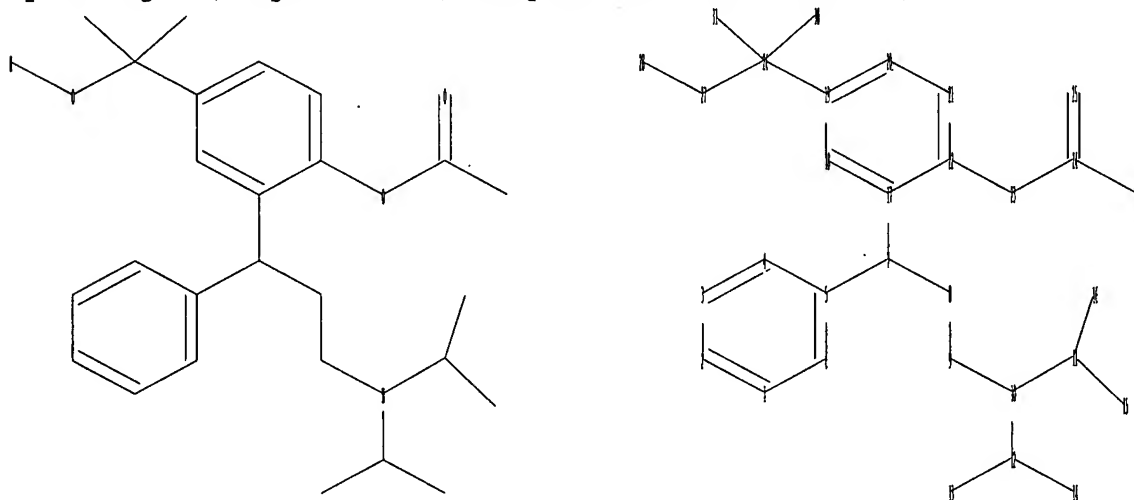
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\fesoterodine generic.str



chain nodes :

7 8 9 10 11 12 13 14 15 16 23 24 25 26 27 28 29 30 31

ring nodes :

1 2 3 4 5 6 17 18 19 20 21 22

chain bonds :

5-7 7-8 7-17 8-9 9-10 10-11 10-12 11-15 11-16 12-13 12-14 19-26 22-23  
23-24 24-25 24-29 26-27 26-30 26-31 27-28

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

exact/norm bonds :

9-10 10-11 10-12 22-23 23-24 24-25 26-27

exact bonds :

5-7 7-8 7-17 8-9 11-15 11-16 12-13 12-14 19-26 24-29 26-30 26-31 27-28

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22

Match level :

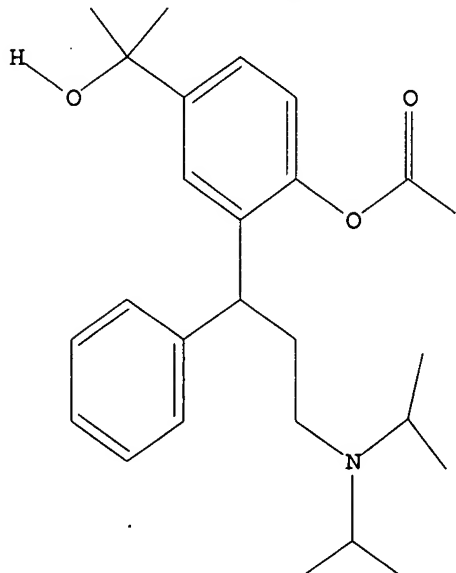
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom  
19:Atom 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 25:CLASS 26:CLASS  
27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1

SAMPLE SEARCH INITIATED 12:33:38 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5 TO 234

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.44

0.65

FILE 'CAPLUS' ENTERED AT 12:33:44 ON 02 NOV 2006

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FILE LAST UPDATED: 1 Nov 2006 (20061101/ED)

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=> s L2

L3 0 L2

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

0.46

1.11

STN INTERNATIONAL LOGOFF AT 12:34:30 ON 02 NOV 2006

Connecting via Winsock to STN .

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS	4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS	5	AUG 30	CA(SM)/Cplus(SM) Austrian patent law changes
NEWS	6	SEP 11	CA/Cplus enhanced with more pre-1907 records
NEWS	7	SEP 21	CA/Cplus fields enhanced with simultaneous left and right truncation
NEWS	8	SEP 25	CA(SM)/Cplus(SM) display of CA Lexicon enhanced
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NEWS	10	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS	11	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS	12	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS	13	OCT 19	E-mail format enhanced

NEWS 14 OCT 23 Option to turn off MARPAT highlighting enhancements available  
 NEWS 15 OCT 23 CAS Registry Number crossover limit increased to 300,000 in  
 multiple databases  
 NEWS 16 OCT 23 The Derwent World Patents Index suite of databases on STN  
 has been enhanced and reloaded  
 NEWS 17 OCT 30 CHEMLIST enhanced with new search and display field  
  
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FILE 'HOME' ENTERED AT 14:38:17 ON 02 NOV 2006

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:38:27 ON 02 NOV 2006  
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 DICTIONARY FILE UPDATES: 1 NOV 2006 HIGHEST RN 912260-33-4

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

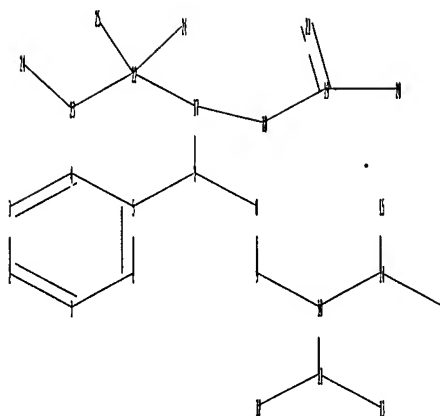
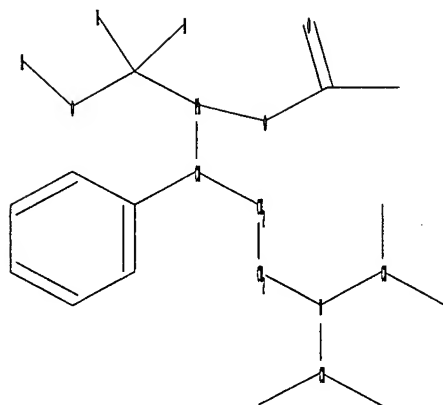
Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10532836.str



```

chain nodes :
7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6
chain bonds :
5-7 7-8 7-17 8-9 9-10 10-11 10-14 11-12 11-13 14-15 14-16 17-18 17-22
18-19 19-20 19-21 22-23 22-25 22-26 23-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
10-11 10-14 18-19 19-21 22-23
exact bonds :
5-7 7-8 7-17 8-9 9-10 11-12 11-13 14-15 14-16 17-18 17-22 19-20 22-25
22-26 23-24
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

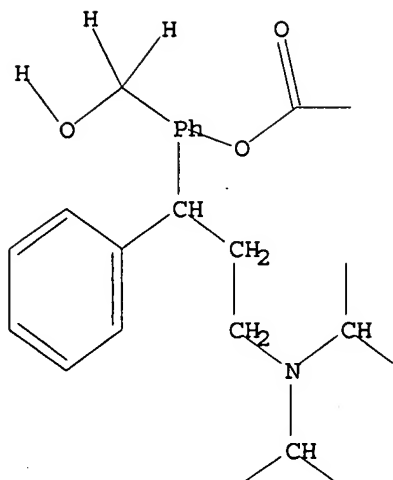
```

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 full

FULL SEARCH INITIATED 14:38:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

166.94

167.15

FILE 'CAPLUS' ENTERED AT 14:39:05 ON 02 NOV 2006

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=> s L2

L3 0 L2



=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.46	167.61

STN INTERNATIONAL LOGOFF AT 14:39:22 ON 02 NOV 2006

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalxn1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 4 AUG 28 ADISCTI Reloaded and Enhanced  
NEWS 5 AUG 30 CA(SM)/CPlus(SM) Austrian patent law changes  
NEWS 6 SEP 11 CA/CPlus enhanced with more pre-1907 records  
NEWS 7 SEP 21 CA/CPlus fields enhanced with simultaneous left and right  
truncation  
NEWS 8 SEP 25 CA(SM)/CPlus(SM) display of CA Lexicon enhanced  
NEWS 9 SEP 25 CAS REGISTRY(SM) no longer includes Concord 3D coordinates  
NEWS 10 SEP 25 CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine  
NEWS 11 SEP 28 CEABA-VTB classification code fields reloaded with new  
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NEWS 12 OCT 19 LOGOFF HOLD duration extended to 120 minutes  
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NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT  
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.  
  
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NEWS IPC8 For general information regarding STN implementation of IPC 8  
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FILE 'HOME' ENTERED AT 14:39:50 ON 02 NOV 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 14:40:01 ON 02 NOV 2006

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DICTIONARY FILE UPDATES: 1 NOV 2006 HIGHEST RN 912260-33-4

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<http://www.cas.org/ONLINE/UG/regprops.html>

=> s fesoterodine

L1 2 FESOTERODINE

=> d fcn

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Fesoterodine fumarate

CN SMP 8272

CN SPM 907

=> d L1

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2006 ACS on STN

RN 286930-03-8 REGISTRY

ED Entered STN: 21 Aug 2000

CN Propanoic acid, 2-methyl-, 2-[(1R)-3-[bis(1-methylethyl)amino]-1-

phenylpropyl]-4-(hydroxymethyl)phenyl ester, (2E)-2-butenedioate (1:1)  
(salt) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Fesoterodine fumarate

CN SMP 8272

CN SPM 907

FS STEREOSEARCH

MF C26 H37 N O3 . C4 H4 O4

SR CA

LC STN Files: ADISINSIGHT, BIOSIS, CA, CAPLUS, CBNB, IMSDRUGNEWS,  
IMSPATENTS, IMSRESEARCH, PHAR, PROUSDDR, RTECS\*, SYNTHLINE, TOXCENTER,  
USAN, USPATFULL

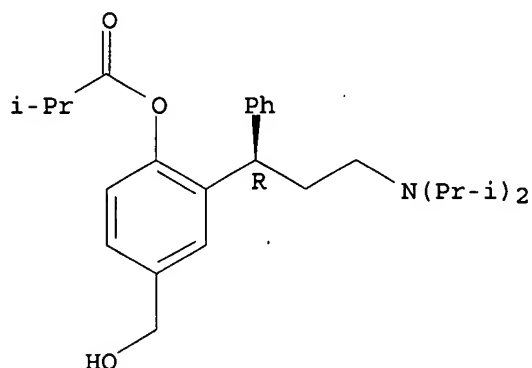
(\*File contains numerically searchable property data)

CM 1

CRN 286930-02-7

CMF C26 H37 N O3

Absolute stereochemistry. Rotation (+).

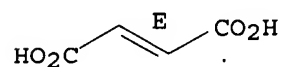


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s fesoterodine isobutyrate

2 FESOTERODINE

1530 ISOBUTYRATE

L2 0 FESOTERODINE ISOBUTYRATE

(FESOTERODINE (W) ISOBUTYRATE)

=> s fesoteridine derivatives

0 FESOTERIDINE

165 DERIVATIVES

L3 0 FESOTERIDINE DERIVATIVES

(FESOTERIDINE (W) DERIVATIVES)

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	28.92	29.13

FILE 'CAPLUS' ENTERED AT 14:41:33 ON 02 NOV 2006  
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 FILE LAST UPDATED: 1 Nov 2006 (20061101/ED)

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<http://www.cas.org/infopolicy.html>

=> s fesoteridine

0 FESOTERIDINE  
 L4 0 FESOTERIDINE

=> s fesoterodine

L5 9 FESOTERODINE

=> d L5 1-9 all

L5 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:1133705 CAPLUS  
 ED Entered STN: 30 Oct 2006  
 TI Treatment of the overactive bladder syndrome with muscarinic receptor antagonists - a matter of metabolites?  
 AU Michel, Martin C.; Hegde, Sharath S.  
 CS Department of Pharmacology & Pharmacotherapy, Academic Medical Center, University of Amsterdam, Meibergdreef 15, Amsterdam, 1105 AZ, Neth.  
 SO Naunyn-Schmiedeberg's Archives of Pharmacology (2006), 374(2), 79-85  
 CODEN: NSAPCC; ISSN: 0028-1298  
 PB Springer  
 DT Journal  
 LA English  
 CC 1 (Pharmacology)  
 AB Antagonists of muscarinic acetylcholine receptors, such as darifenacin, oxybutynin, propiverine, solifenacin, tolterodine, and trospium, are the mainstay of the treatment of the overactive bladder syndrome. Fesoterodine is a newer drug awaiting regulatory approval. We briefly review the pharmacol. activity of their metabolites and discuss how active metabolites may contribute to their efficacy and tolerability in vivo. Except for trospium, and perhaps solifenacin, all of the above drugs form active metabolites, and their presence and activity need to be taken into consideration when elucidating relationships between pharmacokinetics and pharmacodynamics of these drugs. Moreover, the ratios between parent compds. and metabolites may differ depending on genotype of the metabolizing enzymes, concomitant medication, and/or drug formulation. Differential generation of active metabolites of darifenacin or tolterodine are unlikely to influence the overall clin. profile of

these drugs in a major way because the active metabolites exhibit a similar pharmacol. profile as the parent compound. In contrast, metabolites of oxybutynin and propiverine may behave quant. or even qual. differently from their parent compds. and this may have an impact on the overall clin. profile of these drugs. We conclude that more comprehensive studies of drug metabolites are required for an improved understanding of their clin. effects.

L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:76147 CAPLUS  
 DN 144:156740  
 ED Entered STN: 27 Jan 2006  
 TI Combinations of statins with bronchodilators for treatment of respiratory disorders  
 IN Lindmark, Bertil; Thoren, Anders Ingemar  
 PA AstraZeneca AB, Swed.; AstraZeneca UK Limited  
 SO PCT Int. Appl., 18 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K031-40  
 ICS A61K031-505; A61K031-58; A61K031-165; A61P011-00; A61P011-06; A61P011-08  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008437	A1	20060126	WO 2005-GB2413	20050620
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI GB 2004-15789	A	20040715		

# CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2006008437	ICM	A61K031-40
	ICS	A61K031-505; A61K031-58; A61K031-165; A61P011-00; A61P011-06; A61P011-08
	IPCI	A61K0031-40 [ICM,7]; A61K0031-505 [ICS,7]; A61K0031-58 [ICS,7]; A61K0031-165 [ICS,7]; A61P0011-00 [ICS,7]; A61P0011-06 [ICS,7]; A61P0011-08 [ICS,7]

AB The invention provides medicaments comprising combinations of bronchodilators, glucocorticosteroids and HMG-CoA reductase inhibitors in the treatment of respiratory disorders such as chronic obstructive pulmonary disease (COPD). For example, a metered dose inhaler contained per dose formoterol fumarate dihydrate 4.5 µg, budesonide 160 µg, rosuvastatin 1 mg, and HFA 227 50 µL. Also, an inhalation/oral combination comprised an aerosol formulation containing per dose formoterol fumarate dihydrate 4.5 µg and budesonide 160 µg, and a tablet formulation containing rosuvastatin 10 mg.

ST bronchodilator glucocorticosteroid statin respiratory disease; HMG CoA reductase inhibitor bronchodilator respiratory disease

IT Drug delivery systems  
 (aerosols, inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)

IT Lung, disease

(chronic obstructive pulmonary disease; combinations of statins with bronchodilators for treatment of respiratory disorders)

- IT Bronchodilators  
Cholinergic antagonists  
Combination chemotherapy  
Respiratory system, disease  
β2-Adrenoceptor agonists  
(combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT Glucocorticoids  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT Drug delivery systems  
(inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT Drug delivery systems  
(powders, inhalants; combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT Drug delivery systems  
(tablets; combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT 50-24-8, Prednisolone 53-03-2, Prednisone 100-76-5D, Quinuclidine, derivs. 124-94-7, Triamcinolone 596-51-0 3385-03-3, Flunisolide 4419-39-0, Beclomethasone 25990-43-6, Mepenzolate 51333-22-3, Budesonide 60135-22-0, Flumoxonide 60205-81-4, Ipratropium 73573-87-2, Formoterol 73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81732-65-2, Bambuterol 85197-77-9, Tipredane 89365-50-4, Salmeterol 90566-53-3, Fluticasone 93957-54-1, Fluvastatin 99571-64-9, Oxitropium 105102-22-5, Mometasone 120815-74-9, Butixocort 124937-51-5, Tolterodine 126544-47-6, Ciclesonide 129260-79-3, Loteprednol 133099-04-4, Darifenacin 134523-00-5, Atorvastatin 136310-93-5, Tiotropium bromide 137888-11-0, TA 2005 144459-70-1, Rofleponide 145599-86-6, Cerivastatin 170105-16-5, Imidafenacin 182069-13-2, ETIPREDNOL 183814-30-4, Formoterol fumarate dihydrate 186691-13-4, Tiotropium 192056-79-4 242478-37-1, Solifenacin 286930-02-7, Fesoterodine 287714-41-4, Rosuvastatin 397864-44-7, 6α,9α-Difluoro-17α-[(2-furanylcarbonyl)oxy]-11β-hydroxy-16α-methyl-3-oxo-androsta-1,4-diene-17β-carbothioic acid S-fluoromethyl ester 398455-25-9 452339-68-3, 3-[4-[[6-[(2R)-2-Hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]hexyl]oxy]butyl]benzenesulfonamide 463934-65-8 678160-57-1, Zoticasone 867022-63-7  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT 9028-35-7, HMG-CoA reductase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(inhibitors, statins; combinations of statins with bronchodilators for treatment of respiratory disorders)
- IT 147511-69-1  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pitavastatin; combinations of statins with bronchodilators for treatment of respiratory disorders)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE

- (1) Harlan, J; WO 0048626 A 2000 CAPLUS
- (2) Kao, P; US 2005119330 A1 2005
- (3) Takeda Chemical Industries Ltd; EP 1275388 A 2003 CAPLUS

L5 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:878361 CAPLUS

DN 141:370546

ED Entered STN: 22 Oct 2004

TI Highly pure bases of 3,3-diphenyl propylamine monoesters for use in

transdermal delivery systems  
 IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland  
 PA Schwarz Pharma Ag, Germany  
 SO PCT Int. Appl., 72 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 IC ICM C07C217-62  
 ICS A61K031-135; C07C213-10; A61P013-00  
 CC 63-6 (Pharmaceuticals)  
 Section cross-reference(s): 1  
 FAN.CNT 1

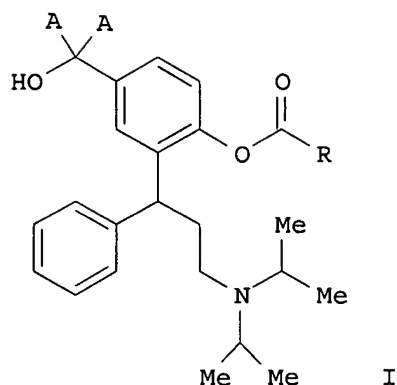
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089872	A1	20041021	WO 2004-EP3567	20040403
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	RW:			BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	DE 10315917	A1	20041118	DE 2003-10315917	20030408
	AU 2004228163	A1	20041021	AU 2004-228163	20040403
	CA 2505848	AA	20041021	CA 2004-2505848	20040403
	BR 2004006221	A	20050809	BR 2004-6221	20040403
	EP 1613584	A1	20060111	EP 2004-725610	20040403
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR	
	CN 1802345	A	20060712	CN 2004-80009224	20040403
	JP 2006522758	T2	20061005	JP 2006-504989	20040403
	US 2006014832	A1	20060119	US 2005-532836	20050426
	NO 2005005078	A	20051031	NO 2005-5078	20051031
PRAI	DE 2003-10315917	A	20030408		
	WO 2004-EP3567	W	20040403		

# CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004089872	ICM	C07C217-62
	ICS	A61K031-135; C07C213-10; A61P013-00
	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
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	IPCI	C07C0219-28 [ICM,7]; C07C0219-00 [ICM,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
AU 2004228163	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]

CA 2505848	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61P0013-00 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61K0031-135 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
BR 2004006221	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
EP 1613584	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; C07C0213-10 [ICS,7]; C07C0213-00 [ICS,7,C*]; A61P0013-00 [ICS,7]
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CN 1802345	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
	IPCI	C07C0217-62 [I,A]; C07C0217-00 [I,C*]; A61K0031-135 [I,A]; C07C0213-10 [I,A]; C07C0213-00 [I,C*]; A61P0013-00 [I,A]
JP 2006522758	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
	IPCI	C07C0219-28 [I,A]; C07C0219-00 [I,C*]; C07C0213-08 [I,A]; C07C0213-10 [I,A]; C07C0213-00 [I,C*]; A61K0031-222 [I,A]; A61K0031-21 [I,C*]; A61P0013-02 [I,A]; A61P0013-10 [I,A]; A61P0013-00 [I,C*]; A61K0009-70 [I,A]; A61K0047-32 [I,A]; C07B0053-00 [N,A]
	FTERM	4C076/AA74; 4C076/AA95; 4C076/CC17; 4C076/EE10M; 4C076/EE10Q; 4C076/EE12M; 4C076/EE12Q; 4C076/EE13M; 4C076/EE13Q; 4C076/EE47M; 4C076/EE47Q; 4C076/EE48M; 4C076/EE48Q; 4C076/FF31; 4C076/FF63; 4C076/FF68; 4C206/AA01; 4C206/AA02; 4C206/DB02; 4C206/DB57; 4C206/KA13; 4C206/MA02; 4C206/MA05; 4C206/MA33; 4C206/MA36; 4C206/MA48; 4C206/MA52; 4C206/MA55; 4C206/MA56; 4C206/MA76; 4C206/MA83; 4C206/NA03; 4C206/NA12; 4C206/NA13; 4C206/ZA81; 4H006/AA01; 4H006/AA02; 4H006/AA03; 4H006/AB20; 4H006/AC52; 4H006/AC81; 4H006/AD16; 4H006/BB11; 4H006/BB12; 4H006/BB15; 4H006/BB16; 4H006/BB17; 4H006/BB31; 4H006/BC16; 4H006/BE12; 4H006/BE13; 4H006/BJ50; 4H006/BN10; 4H006/BT16; 4H006/BU36
US 2006014832	IPCI	C07C0229-52 [I,A]; C07C0229-00 [I,C*]; A61K0031-24 [I,A]; A61K0031-21 [I,C*]
	NCL	514/540.000; 560/136.000
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62
NO 2005005078	IPCI	C07C0217-62 [ICM,7]; C07C0217-00 [ICM,7,C*]; A61K0031-135 [ICS,7]; A61K0009-70 [ICS,7]; A61P0013-00 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61P0013-00 [I,C*]; A61P0013-00 [I,A]; C07C0213-00 [I,C*]; C07C0213-10 [I,A]; C07C0217-00 [I,C*]; C07C0217-62 [I,A]
	ECLA	A61K009/70E; A61K031/135; C07C213/10; C07C217/62





- AB The invention relates to a compound of general formula (I) wherein A represents deuterium or hydrogen, R represents a group selected from C1-6 alkyl, C3-10 cycloalkyl or Ph, which can be substituted by C1-3 alkoxy, fluorine, chlorine, bromine, iodine, nitro, amino, hydroxyl, oxo, mercapto or deuterium. The C atom marked with a \* (star) can be present in an (R) configuration, in an (S)-configuration or a mixture thereof. The invention is characterized in that the above-mentioned compds. are free bases with a degree of purity of more than 97 wt %. The invention also relates to a method for the production of highly pure compds. of general formula (I) and to the use thereof in the production of medicaments. Thus (R)-2-[3-(Diisopropylamino)-1-phenylpropyl]-4-(hydroxymethyl)phenol was reacted with isobutyric acid chloride to form fesoterodine. Fesoterodine was purified via the formation of its fumaric acid salt. 1.5 G of the highly pure fesoterodine was mixed with 8.5 g silicone adhesive Bio-PSA 7-4300 and applied to a foil in order to prepare a transdermal delivery system.
- ST fesoterodine purifn monoester transdermal delivery system
- IT Ion exchangers  
(basic; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Bladder  
(detrusor muscle, hyperactivity of; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Adhesives  
Chirality  
Crystallization  
Dissolution  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Amines, reactions  
Bicarbonates  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Bladder, disease  
(incontinence; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Urinary system, disease  
(nocturia; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Bladder, disease  
(pollakisuria; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)
- IT Amines, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(polyamines, nonpolymeric; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Drug delivery systems  
(transdermal; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT Drug delivery systems  
(transmucosal; highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 60-29-7, Diethyl ether, uses 75-09-2, Dichloromethane, uses 78-93-3, Ethylmethylketone, uses 108-88-3, Toluene, uses 141-78-6, Ethylacetate, uses 1634-04-4, tert. Butylmethyl ether  
RL: NUU (Other use, unclassified); USES (Uses)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 286930-02-7P, Fesoterodine  
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 504415-91-2P, Bio-PSA 7-4300  
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 777075-72-6P  
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 79-30-1, Isobutyric acid chloride 110-17-8, Fumaric acid, reactions 207679-81-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

IT 5586-73-2D, 3,3-Diphenyl propylamine, monoesters  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(highly pure bases of 3,3-di-Ph propylamine monoesters for use in transdermal delivery systems)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Kreilgaard, B; WO 0012070 A 2000 CAPLUS
- (2) Nilv; WO 9411337 A 1994 CAPLUS
- (3) Sanol Arznei Schwarz Gmbh; WO 9958478 A 1999 CAPLUS
- (4) Sanol Arznei Schwarz Gmbh; WO 0135957 A 2001

L5 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:875349 CAPLUS

DN 142:303234

ED Entered STN: 22 Oct 2004

TI Mucosal adjuvants and delivery systems for oral and nasal vaccination

AU Baudner, Barbara C.; Verhoel, J. Coos; Junginger, Hans E.; del Giudice, Giuseppe

CS IRIS Research Center, Siena; 53100, Italy

SO Drugs of the Future (2004), 29(7), 721-732

CODEN: DRFUD4; ISSN: 0377-8282

PB Prous Science

DT Journal; General Review

LA English

CC 63-0 (Pharmaceuticals)

Section cross-reference(s): 15

AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are

needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

- ST review mucosa adjuvant oral nasal vaccine
- IT Immunostimulants  
(adjuvants; mucosal adjuvants and delivery systems for oral and nasal vaccination)
- IT Muscarinic antagonists  
Vaccines  
(mucosal adjuvants and delivery systems for oral and nasal vaccination)
- IT Drug delivery systems  
(nasal; mucosal adjuvants and delivery systems for oral and nasal vaccination)
- IT Drug delivery systems  
(oral; mucosal adjuvants and delivery systems for oral and nasal vaccination)

RE.CNT 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Ackermann, L; Immunology 2004, V111, P75 CAPLUS
- (2) Agnello, D; J Clin Immunol 2003, V23, P147 CAPLUS
- (3) Allaoui-Attarki, K; Infect Immun 1997, V65, P853 CAPLUS
- (4) Andre, F; Vaccine 2003, V21, P593
- (5) Babiuk, L; Vaccine 2003, V21, P649 CAPLUS
- (6) Baca-Estrada, M; Vaccine 2000, V18, P2203 CAPLUS
- (7) Baldridge, J; Modern Vaccines Adjuvants Deliv Syst 2003
- (8) Baldridge, J; Vaccine 2000, V18, P2416 CAPLUS
- (9) Baudner, B; Infect Immun 2002, V70, P4785 CAPLUS
- (10) Baudner, B; J Infect Dis 2004, V189, P828 CAPLUS
- (11) Baudner, B; Vaccine 2003, V21, P3837 CAPLUS
- (12) Bienenstock, J; Bacterial Infections of Respiratory and Gastrointestinal Mucosae 1998, P9
- (13) Bienenstock, J; Lab Invest 1976, V35, P343 MEDLINE
- (14) Borchard, G; J Control Rel 1996, V39, P131 CAPLUS
- (15) Bouche, F; Vaccine 2003, V21, P2065 CAPLUS
- (16) Bowman, C; Infect Immun 2001, V69, P1528 CAPLUS
- (17) Boyaka, P; Adv Drug Deliv Rev 2001, V51, P71 CAPLUS
- (18) Boyaka, P; Immunol Res 1999, V20, P207 CAPLUS
- (19) Bradney, C; J Virol 2002, V76, P517 CAPLUS
- (20) Brandtzaeg, P; Nat Immunol 2001, V2, P1093 CAPLUS
- (21) Braun, M; J Exp Med 1999, V189, P541 CAPLUS
- (22) Buchan, G; Mol Immunol 2000, V379, P545
- (23) Cahill, E; Vaccine 1995, V13, P455 CAPLUS
- (24) Carcaboso, A; Intl J Pharm 2003, V260, P273 CAPLUS
- (25) Challacombe, S; Vaccine 1997, V15, P169 MEDLINE
- (26) Chikwamba, R; Transgenic Res 2002, V11, P479 CAPLUS
- (27) Childers, N; Infect Immun 1999, V67, P618 CAPLUS
- (28) Childers, N; Infect Immun 2000, V68, P5509 CAPLUS
- (29) Childers, N; J Dent Res 2002, V81, P48 MEDLINE
- (30) Choi, A; Vaccine 2002, V20, P1733 CAPLUS
- (31) Chun, S; J Immunol 1999, V163, P2393 CAPLUS
- (32) Cleland, J; Trends Biotechnol 1999, V17, P25 CAPLUS
- (33) Conway, M; Vaccine 2001, V19, P1940 CAPLUS
- (34) Coulter, A; Vaccine 2003, V21, P946 CAPLUS

- (35) Czerkinsky, C; Immunol Rev 1999, V170, P197 CAPLUS
- (36) Davis, H; Vaccine 2000, V18, P1920 CAPLUS
- (37) Dehaan, L; Eur J Immunol 1998, V28, P1243 CAPLUS
- (38) Desai, M; Pharm Res 1997, V14, P1568 CAPLUS
- (39) Dickinson, B; Infect Immun 1995, V63, P1617 CAPLUS
- (40) Dodane, V; Intl J Pharm 1999, V182, P21 CAPLUS
- (41) Doherty, T; Infect Immun 2002, V70, P3111 CAPLUS
- (42) Duc Le, H; Infect Immun 2003, V71, P2810 MEDLINE
- (43) Dumais, N; J Infect Dis 2002, V186, P1098 CAPLUS
- (44) Dziekan, G; Bull World Health Org 2003, V81, P277
- (45) Ehreth, J; Vaccine 2003, V21, P596
- (46) Eldridge, J; J Control Rel 1990, V11, P205 CAPLUS
- (47) Fagarasan, S; Nat Rev Immunol 2003, V3, P63 CAPLUS
- (48) Fagarasan, S; Nature 2001, V413, P639 CAPLUS
- (49) Finke, D; Curr Opin Genet Dev 2001, V11, P561 CAPLUS
- (50) Freidag, B; Infect Immun 2000, V68, P2948 CAPLUS
- (51) Furrie, E; Vaccine 2002, V20, P2254 CAPLUS
- (52) Gagliardi, M; Eur J Immunol 2000, V30, P2394 CAPLUS
- (53) Gallichan, W; J Immunol 2001, V166, P3451 CAPLUS
- (54) Gao, Y; World J Gastroenterol 2003, V9, P996 CAPLUS
- (55) Giuliani, M; J Exp Med 1998, V187, P1123 CAPLUS
- (56) Gupta, R; Dev Biol Stand 1998, V92, P63 CAPLUS
- (57) Han, M; J Vet Med Sci 1997, V59, P1109 CAPLUS
- (58) Hantman, M; Mucosal Immunology, 2nd Ed 1999, P759
- (59) Harokopakis, E; Infect Immun 1998, V66, P4299 CAPLUS
- (60) Hathaway, L; Cell Mol Life Sci 2000, V57, P323 CAPLUS
- (61) Heritage, P; Immunology 1998, V93, P249 CAPLUS
- (62) Herrmann, J; Virology 1999, V259, P148 CAPLUS
- (63) Hu, K; Adv Drug Deliv Rev 2001, V51, P149 CAPLUS
- (64) Hu, K; Clin Exp Immunol 1998, V113, P235 CAPLUS
- (65) Illum, L; Adv Drug Deliv Rev 2001, V51, P81 CAPLUS
- (66) Jabbal-Gill, I; Vaccine 1998, V16, P2039 CAPLUS
- (67) Jahnsen, F; Am J Respir Cell Mol Biol 2004, V30, P31 CAPLUS
- (68) Jakobsen, H; Infect Immun 2002, V70, P1443 CAPLUS
- (69) Jalava, K; Expert Rev Vaccines 2003, V2, P45 CAPLUS
- (70) Janeway, C; Annu Rev Immunol 2002, V20, P197 CAPLUS
- (71) Jepson, M; Adv Drug Deliv Rev 2004, V56, P511 CAPLUS
- (72) Johnson, M; Modern Vaccines Adjuvants Deliv Syst 2003
- (73) Jones, D; Infect Immun 1996, V64, P489 CAPLUS
- (74) Kato, Y; Curr Pharm Biotechnol 2003, V4, P303 CAPLUS
- (75) Kawasaki, K; Jpn J Infect Dis 2001, V54, P220 CAPLUS
- (76) Kotze, A; Pharm Res 1997, V14, P1197 CAPLUS
- (77) Kraehenbuhl, J; Annu Rev Cell Dev Biol 2000, V16, P301 CAPLUS
- (78) Krieg, A; Ann Rev Immunol 2002, V29, P709
- (79) Krieg, A; Antisense Nucleic Acid Drug Dev 2001, V11, P181 CAPLUS
- (80) Krieg, A; Nature 1995, V374, P546 CAPLUS
- (81) Kuklin, N; J Virol 1997, V71, P3138 CAPLUS
- (82) Larsen, D; Am J Vet Res 2002, V63, P653 CAPLUS
- (83) Levine, M; Bull Inst Pasteur 1995, V93, P243
- (84) Levine, M; Microbiol Rev 1983, V47, P510 CAPLUS
- (85) Levine, M; Vaccine 1999, V17, P22
- (86) Lundholm, P; Virus Res 2002, V82, P141 CAPLUS
- (87) Lycke, N; Cell Microbiol 2004, V6, P23 CAPLUS
- (88) Mahon, B; J Exp Med 1995, V181, P1285 CAPLUS
- (89) Marchetti, M; Vaccine 1998, V16, P33 CAPLUS
- (90) Marinaro, M; J Immunol 1999, V162, P114 CAPLUS
- (91) Mariotti, S; Vaccine 2002, V20, P2229 CAPLUS
- (92) McCluskie, M; J Immunol 1998, V161, P4463 CAPLUS
- (93) McCluskie, M; Vaccine 2000, V19, P413 CAPLUS
- (94) McNeela, E; Vaccine 2000, V19, P1188 CAPLUS
- (95) McNeela, E; Vaccine 2004, V22, P909 CAPLUS
- (96) Medzhitov, R; Nature 1997, V388, P394 CAPLUS
- (97) Mestecky, J; Mucosal Immunology, 2nd Ed 1999, P133
- (98) Michalek, S; Mucosal Immunology, 2nd Ed 1999, P759
- (99) Michie, C; Trends Mol Med 2002, V8, P6

- (100) Mielcarek, N; Adv Drug Deliv Rev 2001, V51, P55 CAPLUS
- (101) Mills, K; Infect Immun 2003, V71, P726 CAPLUS
- (102) Moore, A; Vaccine 1999, V17, P2517 CAPLUS
- (103) Mor, G; J Clin Invest 1996, V98, P2700 CAPLUS
- (104) Mosmann, T; Immunol Today 1996, V17, P138 CAPLUS
- (105) Mossad, S; Cleve Clin J Med 2003, V70, P801
- (106) Murphy, T; New Engl J Med 2001, V344, P564 MEDLINE
- (107) Mutsch, M; New Engl J Med 2004, V350, P896 CAPLUS
- (108) Neutra, M; Annu Rev Immunol 1996, V14, P275 CAPLUS
- (109) Neutra, M; Cell 1996, V86, P345 CAPLUS
- (110) Neutra, M; Nat Immunol 2001, V2, P1004 CAPLUS
- (111) Ninomiya, A; Vaccine 2002, V19, P3123
- (112) Nishimura, K; Vaccine 1984, V2, P93 CAPLUS
- (113) Oggioni, M; Vaccine 2003, V21(Suppl 2), PS96
- (114) Okuda, K; Vaccine 2001, V19, P3681 CAPLUS
- (115) Olszewska, W; Infect Immun 2000, V68, P4923 CAPLUS
- (116) O'Hagan, D; Expert Rev Vaccines 2003, V2, P269 CAPLUS
- (117) O'Hagan, D; J Anat 1996, V189, P477 CAPLUS
- (118) O'Hagan, D; Novel Delivery Systems for Oral Vaccines 1994, P175 CAPLUS
- (119) Pasetti, M; Vaccine 2003, V21, P401 CAPLUS
- (120) Paul, W; STP Pharma Sci 2000, V10, P5 CAPLUS
- (121) Prince, G; J Virol 2003, V77, P13156 CAPLUS
- (122) Rappuoli, R; Immunol Today 1999, V20, P493 CAPLUS
- (123) Richards, C; J Infect Dis 1998, V177, P1451 CAPLUS
- (124) Roy, K; Nat Med 1999, V5, P387 CAPLUS
- (125) Ryan, E; Infect Immun 1999, V67, P6270 CAPLUS
- (126) Ryan, E; J Immunol 2000, V165, P5750 CAPLUS
- (127) Sala, F; Vaccine 2003, V21, P803 CAPLUS
- (128) Salkowski, C; Infect Immun 1997, V65, P3239 CAPLUS
- (129) Sanchez, J; Lancet 1994, V344, P1273 MEDLINE
- (130) Sato, Y; Science 1996, V273, P352 CAPLUS
- (131) Seo, J; Infect Immun 2002, V70, P1143 CAPLUS
- (132) Seong, S; Infect Immun 1999, V67, P3587 CAPLUS
- (133) Shreedhar, V; Infect Immun 2003, V71, P504 CAPLUS
- (134) Sieval, A; Carbohydr Polym 1998, V36, P157 CAPLUS
- (135) Singh, M; Vaccine 2001, V20, P594 CAPLUS
- (136) Smith, M; Exp Phys 1995, V80, P735 CAPLUS
- (137) Smith, R; Immunol Cell Biol 1998, V76, P263 CAPLUS
- (138) Smith, R; J Immunol 1999, V162, P5536 CAPLUS
- (139) Sommer, F; Infect Immun 2004, V72, P1029 CAPLUS
- (140) Staats, H; J Immunol 1999, V162, P6141 CAPLUS
- (141) Staats, H; J Immunol 2001, V167, P5386 CAPLUS
- (142) Steinman, R; J Clin Invest 2002, V109, P1519 CAPLUS
- (143) Streatfield, S; Expert Rev Vaccines 2003, V2, P763
- (144) Sun, J; J Immunol 1999, V163, P1045 CAPLUS
- (145) Tacket, C; J Infect Dis 2000, V182, P302 MEDLINE
- (146) Takahashi, I; J Infect Dis 1996, V173, P627 CAPLUS
- (147) Thanou, M; J Control Rel 2000, V64, P15 CAPLUS
- (148) Toka, F; J Virol 2003, V77, P12742 CAPLUS
- (149) Trach, D; Lancet 1997, V349, P231 MEDLINE
- (150) Underhill, D; Curr Opin Immunol 2002, V14, P103 CAPLUS
- (151) Vajdy, M; Adv Drug Deliv Rev 2001, V51, P127 CAPLUS
- (152) van Ginkel, F; J Immunol 2000, V165, P4778 CAPLUS
- (153) van der Lubben, I; STP Pharma Sci 2002, V12, P235 CAPLUS
- (154) van der Lubben, I; Vaccine 2003, V21, P1400 CAPLUS
- (155) Vasselon, T; Infect Immun 2002, V70, P1033 CAPLUS
- (156) Vega-Lopez, M; Modern Vaccines Adjuvants Deliv Syst 2003
- (157) Venkataprasad, N; Vaccine 1999, V17, P1814 CAPLUS
- (158) Verthelyi, D; J Immunol 2001, V166, P2372 CAPLUS
- (159) Verthelyi, D; J Immunol 2002, V168, P1659 CAPLUS
- (160) Wagner, H; Curr Opin Immunol 2002, V5, P62 CAPLUS
- (161) Warzecha, H; J Virol 2003, V77, P8702 CAPLUS
- (162) Weiner, H; Microbes Infect 2001, V3, P947 CAPLUS
- (163) Whittum-Hudson, J; Nat Med 1996, V2, P1116 CAPLUS
- (164) Wu, Y; Virology 2003, V313, P337 CAPLUS

- (165) Xin, K; Immunology 1998, V94, P438 CAPLUS
- (166) Xu, L; Clin Immunol 2000, V96, P205 CAPLUS
- (167) Xu-Amano, J; Vaccine 1994, V12, P903 CAPLUS
- (168) Yamamoto, M; J Infect Dis 2000, V182, P180 CAPLUS
- (169) Yamanaka, T; Eur J Immunol 2001, V31, P107 CAPLUS

L5 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:875348 CAPLUS

DN 142:147630

ED Entered STN: 22 Oct 2004

TI Fesoterodine, an advanced antimuscarinic for the treatment of overactive bladder: a safety update

AU Cole, Patrick

CS Medical Information Dept., Prous Science, Barcelona, 08080, Spain

SO Drugs of the Future (2004), 29(7), 715-720

CODEN: DRFUD4; ISSN: 0377-8282

PB Prous Science

DT Journal; General Review

LA English

CC 1-0 (Pharmacology)

AB A review. The pillars of pharmacotherapy for overactive bladder (OAB) are antimuscarinic agents which inhibit bladder smooth muscle contractions through interference with acetylcholine action on muscarinic receptors of the detrusor smooth muscle. Despite the availability of different antimuscarinic compds., physicians and patients remain dissatisfied with current treatments due to adverse events and/or insufficient efficacy. Therefore, new agents with improved safety and efficacy profiles are needed for a more effective treatment of overactive bladder. Fesoterodine is a novel bladder-selective muscarinic antagonist that has shown potent antimuscarinic activity in vitro and in vivo. In multiple investigations, the agent has been shown to be safe and well tolerated in subjects of different ethnic origin, age and gender; in poor and extensive CYP2D6 metabolizers; in subjects taking concomitant medication inhibiting CYP3A4; in fed or fasted states; and in those suffering from hepatic impairment. No clin. relevant changes in heart rate, blood pressure, ECG parameters or laboratory analyses have been seen with therapeutic doses of fesoterodine in these studies. Furthermore, in a phase II clin. trial in patients with OAB, fesoterodine demonstrated rapid and significant efficacy on a variety of endpoints. The results of this trial encouraged the manufacturer (SCHWARZ PHARMA) to initiate a phase III clin. trial program for fesoterodine.

ST review fesoterodine antimuscarinic overactive bladder

IT Combination chemotherapy

Drug interactions

Human

Muscarinic antagonists

(advanced antimuscarinic fesoterodine for treatment of overactive bladder)

IT Bladder, disease

(hyperreflexia; advanced antimuscarinic fesoterodine for treatment of overactive bladder)

IT 286930-02-7, Fesoterodine

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (advanced antimuscarinic fesoterodine for treatment of overactive bladder)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Abrams, P; Urology 2003, V61, P37

(2) Andersson, K; BJU Int 1999, V84, P923 CAPLUS

(3) Andersson, K; Bailliere's Best Pract Res Clin Obstet Gynaecol 2000, V14, P291 MEDLINE

(4) Anon; Data on file Schwarz BioSciences

(5) Anon; ICS/IUGA Ann Meet 2004

- (6) Anon; ICS/IUGA Ann Meet 2004
- (7) Anon; ICS/IUGA Ann Meet 2004
- (8) Anon; ICS/IUGA Ann Meet 2004
- (9) Anon; Rev Urol 2003, V5(1), P22
- (10) Anon; Schwarz Pharma Annual Report 2003
- (11) Breidenbach, A; 32nd Annu Meet Int Continence Soc, Abst 448 2002
- (12) Cawello, W; Naunyn-Schmied Arch Pharmacol, Abst 428 2002, V365(Suppl 1)
- (13) Chapple, C; XIXth Cong Eur Assoc Urol, Abst 508 2004
- (14) Chapple, C; XIXth Cong Eur Assoc Urol, Abst 512 2004
- (15) Eglén, R; Curr Opin Chem Biol 1999, V3, P426 CAPLUS
- (16) Milsom, I; BJU International 2001, V87, P760 MEDLINE
- (17) Prous Science Drug R & D Backgrounders; Urinary incontinence 2003
- (18) Sachse, R; 32nd Annu Meet Int Continence Soc, Abst 440 2002
- (19) Sachse, R; Eur Urol Suppl, Abst 111 2003, V2(1)
- (20) Sachse, R; Naunyn-Schmied Arch Pharmacol, Abst 413 2002, V365(Suppl 1)
- (21) Sachse, R; Naunyn-Schmied Arch Pharmacol, Abst 446 2003, V367(Suppl 1)
- (22) Sachse, R; Proceedings of the International Continence Society 2003, V33, P377
- (23) Sullivan, J; Eur Urol 1999, V36(Suppl 1), P89
- (24) Wesnes, K; XIXth Cong Eur Assoc Urol, Abst 513 2004

L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:872676 CAPLUS

DN 141:337790

ED Entered STN: 21 Oct 2004

TI Transdermal administration of (R)-3,3-diphenylpropylamine monoesters

IN Breitenbach, Armin; Meese, Claus; Wolff, Hans-Michael; Drews, Roland

PA Schwarz Pharma Ag, Germany

SO PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DT Patent

LA German

IC ICM A61K009-70

ICS A61K031-403; C07C219-26

CC 63-6 (Pharmaceuticals)

Section cross-référence(s): 1

FAN.CNT 1

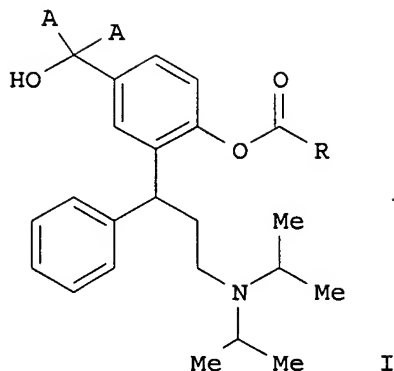
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089346	A1	200411021	WO 2004-EP3574	20040403
	W:				
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	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
	BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				
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	SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,				
	TD, TG				
	DE 10315878	A1	20041104	DE 2003-10315878	20030408
	AU 2004228927	A1	20041021	AU 2004-228927	20040403
	CA 2505780	AA	20041021	CA 2004-2505780	20040403
	EP 1530461	A1	20050518	EP 2004-725614	20040403
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
	BR 2004006212	A	20050816	BR 2004-6212	20040403
	CN 1767820	A	20060503	CN 2004-80009176	20040403
	JP 2006522759	T2	20061005	JP 2006-504992	20040403
	ZA 2005002681	A	20051013	ZA 2005-2681	20050401
	US 2006029673	A1	20060209	US 2005-533683	20050426
	NO 2005004644	A	20051010	NO 2005-4644	20051010
PRAI	DE 2003-10315878	A	20030408		
	WO 2004-EP3574	W	20040403		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004089346	ICM	A61K009-70
	ICS	A61K031-403; C07C219-26
	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
DE 10315878	ECLA	A61K009/70E; A61K031/403
	IPCI	A61L0015-44 [ICM,7]; A61L0015-16 [ICM,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
AU 2004228927	ECLA	A61K009/70E; A61K031/403
	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
CA 2505780	IPCI	A61K0009-70 [ICM,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]; A61K0031-403 [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
EP 1530461	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
BR 2004006212	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
CN 1767820	IPCI	A61K0009-70 [I,A]; A61K0031-403 [I,A]; C07C0219-26 [I,A]; C07C0219-00 [I,C*]
	ECLA	A61K009/70E; A61K031/403
JP 2006522759	IPCI	A61K0031-222 [I,A]; A61K0031-21 [I,C*]; A61K0009-70 [I,A]; A61K0047-32 [I,A]; A61P0013-10 [I,A]; A61P0013-00 [I,A]
	FTERM	4C076/AA74; 4C076/BB31; 4C076/CC17; 4C076/EE08A; 4C076/EE10A; 4C076/EE12A; 4C076/EE27A; 4C076/FF31; 4C076/FF68; 4C206/AA01; 4C206/AA02; 4C206/DB03; 4C206/DB04; 4C206/DB57; 4C206/MA01; 4C206/MA04; 4C206/MA52; 4C206/MA83; 4C206/NA11; 4C206/NA12; 4C206/ZA81
ZA 2005002681	IPCI	A61K [ICS,7]; C07C [ICS,7]
	IPCR	A61K0009-70 [I,C*]; A61K0031-403 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403
US 2006029673	IPCI	A61K0009-14 [I,A]
	NCL	424/486.000
	ECLA	A61K009/70E; A61K031/403
NO 2005004644	IPCI	A61K0009-70 [ICM,7]; A61K0031-403 [ICS,7]; C07C0219-26 [ICS,7]; C07C0219-00 [ICS,7,C*]
	IPCR	A61K0009-70 [I,C*]; A61K0009-70 [I,A]; A61K0031-403 [I,C*]; A61K0031-403 [I,A]
	ECLA	A61K009/70E; A61K031/403

OS MARPAT 141:337790  
GI





AB The invention relates to a device for transdermally administering a compound of formula (I), wherein A represents hydrogen or deuterium, R represents a group selected among C1-6 alkyl, C3-10 cycloalkyl, or Ph, each of which can be substituted by C1-3 alkoxy, fluoride, chlorine, bromine, iodine, nitro, amino, hydroxy, oxo, mercapto, or deuterium, the C atom marked by \* (asterisk) being provided in the R configuration. The invention is characterized in that the compound of general formula (I) is provided in a polymer matrix and is released at a dose of 0.5 to 20 mg per day through human skin. The invention further relates to the use of said compds. of formula (I) for producing transdermal medicaments. Thus a silicone-based transdermal system was prepared by the hot-melt process. 8.5 G of an adhesive mixture composed of BIO-PSA 7-4300 from Dow-Corning and 5

weight/weight%

ozokerite or ceresin was heated to 150°C for 20 min until a homogeneous melt was formed. 1.5 G fesoterodine were added to the melt; the mixture was kept for addnl. 5 min at 150°C; followed by application onto a preheated foil. 5 Cm2 samples were used for dissoln. studies.

ST transdermal diphenylpropylamine monoester Fesoterodineincontinence

IT Isoprene-styrene rubber

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(block, triblock; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Bladder, disease

(incontinence; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Urinary system, disease

(nocturia; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Paraffin oils

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(ondina oil; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Dissolution

Human

Hydrophilicity

Ozocerite

(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Ceresin

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Polyoxyalkylenes, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Drug delivery systems  
 (transdermal; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT Urinary system, disease  
 (urinary frequency; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 700836-36-8 700836-36-8D, block, triblock  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (isoprene-styrene rubber; transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 286930-02-7P, Fesoterodine  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 1617-18-1, Ethylvinylacetate 198292-68-1, DuroTak 387-2287  
 346577-82-0, Regalite R 1090 504415-91-2, BIO-PSA 7-4300  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 380636-50-0P 769950-53-0P  
 RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 79-30-1, Isobutyric acid chloride 110-17-8, Fumaric acid, reactions  
 207679-81-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

IT 5586-73-2D, 3,3-Diphenylpropylamine, monoesters of 9003-20-7, PVAc  
 9003-39-8, PVP 25322-68-3, PEO  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (transdermal administration of (R)-3,3-diphenylpropylamine monoesters)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Arth, C; US 6555129 B1 2003 CAPLUS
- (2) Ebert, C; US 2002147236 A1 2002 CAPLUS
- (3) Kanios, D; US 6638528 B1 2003 CAPLUS
- (4) Sanol Arznei Schwarz Gmbh; EP 0957073 A 1999 CAPLUS
- (5) Sanol Arznei Schwarz Gmbh; WO 0135957 A 2001
- (6) Tsung-Min, H; US 2003157156 A1 2003 CAPLUS

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:761399 CAPLUS

DN 141:254396

ED Entered STN: 19 Sep 2004

TI Fesoterodine a new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome: results of a phase 2 controlled study

CS Chapple C1, Royal Hallamshire Hospital, UK

SO Neurourology and Urodynamics (2004), 23(5/6), 598-599

CODEN: NEUREM; ISSN: 0733-2467

PB Wiley-Liss, Inc.

DT Journal

LA English

CC 1-11 (Pharmacology)

AB Fesoterodine as new effective and well-tolerated antimuscarinic for the treatment of urgency-frequency syndrome is studied here.

ST antimuscarinic fesoterodine urgency frequency syndrome urinary incontinence

IT Human

Muscarinic antagonists  
 (antimuscarinic fesoterodine for treatment of  
 urgency-frequency syndrome)

IT Bladder, disease  
 (incontinence; antimuscarinic fesoterodine for treatment of  
 urgency-frequency syndrome)

IT Disease, animal  
 (urgency-frequency syndrome; antimuscarinic fesoterodine for  
 treatment of urgency-frequency syndrome)

IT 286930-02-7, Fesoterodine  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological  
 activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antimuscarinic fesoterodine for treatment of  
 urgency-frequency syndrome)

L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:993805 CAPLUS  
 DN 140:331551  
 ED Entered STN: 22 Dec 2003  
 TI Fesoterodine: Treatment of urinary incontinence muscarinic M3  
 antagonist  
 AU Sorbera, L. A.; Castaner, J.; Lesson, P. A.  
 CS Prous Science, Barcelona, 08080, Spain  
 SO Drugs of the Future (2003), 28(7), 647-651  
 CODEN: DRFUD4; ISSN: 0377-8282  
 PB Prous Science  
 DT Journal; General Review  
 LA English  
 CC 1-0 (Pharmacology)  
 AB A review. Urinary incontinence and overactive bladder are extremely  
 common disorders affecting up to 12 and 20 million adults in the U.S.,  
 resp. Current pharmacotherapy includes peripherally acting compds. which  
 modulate bladder smooth muscle contraction or centrally acting agents  
 which modulate the neurol. control of urination. Anticholinergic agents  
 inhibit bladder smooth muscle contraction through interference with  
 acetylcholine action on muscarinic receptors on detrusor smooth muscle.  
 However, the first anticholinergic agents were associated with a high rate of  
 adverse events due to nonselectivity and targeting of several muscarinic  
 subtypes and thus other organs. The search for novel, more  
 bladder-selective antimuscarinic agents with better tolerability was  
 initiated. Fesoterodine is a novel selective muscarinic M3  
 receptor antagonist that has shown potent antimuscarinic activity in vitro  
 and in vivo and has been selected for further development as a treatment  
 for urinary incontinence and overactive bladder.

ST review fesoterodine urine incontinence muscarinic M3 antagonist

IT Muscarinic antagonists  
 (M3; fesoterodine treatment of urinary incontinence as  
 muscarinic M3 antagonist)

IT Bladder, disease  
 (incontinence; fesoterodine treatment of urinary incontinence  
 as muscarinic M3 antagonist)

IT 286930-02-7, Fesoterodine  
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fesoterodine treatment of urinary incontinence as muscarinic  
 M3 antagonist)

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE  
 (1) Andersson, K; BJU Int 1999, V84, P923 CAPLUS  
 (2) Andersson, K; Bailliere's Best Pract Res Clin Obstet Gynaecol 2000, V14,  
 P291 MEDLINE  
 (3) Anon; Schwarz's year-end results exceed expectations, DailyDrugNews com  
 2003  
 (4) Breidenbach, A; 32nd Annu Meet Int Continence Soc Abst 448 2002  
 (5) Eglen, R; Curr Opin Chem Biol 1999, V3, P426 CAPLUS

- (6) Meese, C; WO 0135957
- (7) Meese, C; DE 19955190 CAPLUS
- (8) Meese, C; JP 2003514018 CAPLUS
- (9) Prous Science Drug R&d; Backgrounders:Urinary incontinence (online publication) 2003
- (10) Sachse, R; 32nd Annu Meet Int Continence Soc Abst 440 2002
- (11) Sachse, R; Eur Urol Suppl Abst 111 2003, V2(1)
- (12) Sachse, R; Naunyn-Schmied Arch Pharmacol Abst 413 2002, V365(Suppl 1)
- (13) Sachse, R; Naunyn-Schmied Arch Pharmacol Abst 446 2003, V367(Suppl 1)
- (14) Sullivan, J; Eur Urol 1999, V36(Suppl 1), P89

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:950829 CAPLUS  
 DN 140:13084  
 ED Entered STN: 07 Dec 2003  
 TI Combination of selected opioids with other active substances for use in the therapy of urinary incontinence  
 IN Christoph, Thomas  
 PA Grunenthal G.m.b.H., Germany  
 SO PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 IC ICM A61K031-135  
 ICS A61K031-137; A61K031-485  
 CC 1-12 (Pharmacology)  
 Section cross-reference(s): 63  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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AU 2003240717	A1	20031212	AU 2003-240717	20030527
EP 1507520	A1	20050223	EP 2003-730120	20030527
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 2005137194	A1	20050623	US 2004-998164	20041129
US 2006168942	A1	20060803	US 2005-545901	20050817
PRAI DE 2002-10224107	A	20020529		
WO 2003-EP5529	W	20030527		

# CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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	ICS	A61K031-137; A61K031-485
	IPCI	A61K0031-135 [ICM,7]; A61K0031-137 [ICS,7]; A61K0031-485 [ICS,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]
	ECLA	A61K031/135; A61K031/137; A61K031/485
DE 10224107	IPCI	A61K0031-485 [ICM,7]
	IPCR	A61K0031-135 [I,C*]; A61K0031-135 [I,A]; A61K0031-137 [I,C*]; A61K0031-137 [I,A]; A61K0031-485 [I,C*]; A61K0031-485 [I,A]

AU 2003240717 ECLA A61K031/135; A61K031/137; A61K031/485  
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 [I,C\*]; A61K0031-137 [I,A]; A61K0031-485 [I,C\*];  
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 EP 1507520 IPCI A61K0031-135 [ICM,7]; A61K0031-137 [ICS,7];  
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 [I,C\*]; A61K0031-137 [I,A]; A61K0031-485 [I,C\*];  
 A61K0031-485 [I,A]  
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 A61K0031-485 [ICS,7]  
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 [I,C\*]; A61K0031-5377 [I,A]  
 US 2006168942 NCL 514/235.200; 514/282.000  
 IPCI F01N0009-00 [I,A]  
 NCL 060/276.000; 060/285.000  
 ECLA A61K031/135; A61K031/137; A61K031/485  
 OS MARPAT 140:13084  
 AB The invention discloses the use of a combination of opioids (e.g.  
 tramadol) with other active substances for producing a drug for the  
 treatment of urinary urgency or urinary incontinence. The invention also  
 relates to corresponding medicaments and to a method for treating urinary  
 urgency or urinary incontinence.  
 ST incontinence urinary treatment opioid drug combination; urinary urge  
 treatment opioid drug combination; tramadol drug combination urinary  
 incontinence urge  
 IT Bladder, disease  
 (incontinence; opioid combination with other active substances for  
 treatment of urinary incontinence)  
 IT Drug delivery systems  
 (injections; opioid combination with other active substances for  
 treatment of urinary incontinence)  
 IT Drug delivery systems  
 (opioid combination with other active substances for treatment of  
 urinary incontinence)  
 IT Bladder  
 (urinary urge; opioid combination with other active substances for  
 treatment of urinary incontinence)  
 IT 57-27-2, \* Morphin, biological studies 57-42-1, Pethidine 62-67-9,  
 Nalorphine 76-42-6, Oxycodone 76-57-3, Codeine 76-58-4,  
 Ethylmorphine 77-07-6, Levorphanol 125-28-0, Dihydrocodeine  
 125-29-1, Hydrocodone 125-58-6, Levomethadone 302-41-0, Piritramide  
 357-56-2, Dextromoramide 359-83-1, Pentazocine 437-38-7, Fentanyl  
 466-99-9, Hydromorphone 469-62-5, Dextropropoxyphene 469-79-4,  
 Ketobemidone 561-27-3, Diacetylmorphine 915-30-0, Diphenoxylate  
 1199-99-1D, derivs. 1477-40-3, Levomethadyl Acetate 14521-96-1,  
 Etorphine 20594-83-6, Nalbuphine 21363-18-8, Viminol 27203-92-5,  
 Tramadol 42408-82-2, Butorphanol 51931-66-9, Tilidine 52485-79-7,  
 Buprenorphine 53648-55-8, Dezocine 54340-58-8, Meptazinol 56030-54-7  
 71195-58-9, Alfentanyl 80456-81-1, O-Demethyltramadol 132875-61-7,  
 Remifentanyl 138853-73-3  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (Combination of selected opioids with other active substances for use  
 in the therapy of urinary incontinence)  
 IT 186033-14-7, NS 8  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (NS 8; opioid combination with other active substances for treatment of  
 urinary incontinence)  
 IT 52-28-8, Codeine phosphate 57444-62-9, Resiniferatoxin 92725-18-3D,  
 derivs. 93413-69-5, Venlafaxine 142155-43-9, Cizolirtine  
 158836-71-6, Nitro-Flurbiprofen 174636-32-9, Talnetant 175590-75-7

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 187220-29-7 217185-75-6, TAK-637 219311-44-1 220382-87-6, Rec  
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (opioid combination with other active substances for treatment of  
 urinary incontinence)

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE

- (1) Durand, A; PRESSE MEDICALE 2000, V29(16), P917
- (2) Gruenenthal GmbH; DE 19947747 A 2001 CAPLUS
- (3) Kroner, B; JOURNAL OF GERIATRIC DRUG THERAPY 1992, V7(1), P23
- (4) Malinovsky, J; ANESTHESIA AND ANALGESIA 1998, V87(2), P456 CAPLUS
- (5) McNutt, R; US 5658908 A 1997 CAPLUS
- (6) Novosis Pharma Ag; EP 1072260 A 2001 CAPLUS
- (7) Palmer, K; GASTROENTEROLOGY 1980, V79(6), P1272 MEDLINE
- (8) Pandita, R; NEUROUROLOGY AND URODYNAMICS, 31st Annual Meeting of the  
 International Continence Society 2001, V20(4), P439
- (9) Ripple, M; AMERICAN JOURNAL OF FORENSIC MEDICINE AND PATHOLOGY 2000,  
 V21(4), P370 MEDLINE

=> FIL MARPAT

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	32.45	61.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

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FILE CONTENT: 1961-PRESENT VOL 145 ISS 18 (20061027/ED)

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 (COVERAGE TO THESE DATES IS NOT COMPLETE):

US	7108861	19	SEP	2006
DE	102006006123	07	SEP	2006
EP	1700848	13	SEP	2006
JP	2006242783	14	SEP	2006
WO	2006095864	14	SEP	2006
GB	2423518	30	AUG	2006
FR	2882520	01	SEP	2006
RU	2283369	10	SEP	2006
CA	2547866	22	AUG	2006

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=> D ACC 140:13084 ALL

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

ANSWER 1 MARPAT COPYRIGHT 2006 ACS on STN

AN 140:13084 MARPAT

TI Combination of selected opioids with other active substances for use in  
the therapy of urinary incontinence

IN Christoph, Thomas

PA Grunenthal G.m.b.H., Germany

SO PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DT Patent

LA German

IC ICM A61K031-135

ICS A61K031-137; A61K031-485

CC 1-12 (Pharmacology)

Section cross-reference(s): 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003099268	A1	20031204	WO 2003-EP5529	20030527
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	UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
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	AU 2003240717	A1	20031212	AU 2003-240717	20030527
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	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
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	US 2005137194	A1	20050623	US 2004-998164	20041129
	US 2006168942	A1	20060803	US 2005-545901	20050817

PRAI DE 2002-10224107 20020529

WO 2003-EP5529 20030527

AB The invention discloses the use of a combination of opioids (e.g.  
tramadol) with other active substances for producing a drug for the  
treatment of urinary urgency or urinary incontinence. The invention also  
relates to corresponding medicaments and to a method for treating urinary  
urgency or urinary incontinence.

ST incontinence urinary treatment opioid drug combination; urinary urge  
treatment opioid drug combination; tramadol drug combination urinary  
incontinence urge

IT Bladder, disease

(incontinence; opioid combination with other active substances for  
treatment of urinary incontinence)

IT Drug delivery systems

(injections; opioid combination with other active substances for  
treatment of urinary incontinence)

IT Drug delivery systems.

(opioid combination with other active substances for treatment of  
urinary incontinence)

IT Bladder  
(urinary urge; opioid combination with other active substances for treatment of urinary incontinence)

IT 57-27-2, \* Morphin, biological studies 57-42-1, Pethidine 62-67-9, Nalorphine 76-42-6, Oxycodone 76-57-3, Codeine 76-58-4, Ethylmorphine 77-07-6; Levorphanol 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone 125-58-6, Levomethadone 302-41-0, Piritramide 357-56-2, Dextromoramide 359-83-1, Pentazocine 437-38-7, Fentanyl 466-99-9, Hydromorphone 469-62-5, Dextropropoxyphene 469-79-4, Ketobemidone 561-27-3, Diacetylmorphine 915-30-0, Diphenoxylate 1199-99-1D, derivs. 1477-40-3, Levomethadyl Acetate 14521-96-1, Etorphine 20594-83-6, Nalbuphine 21363-18-8, Viminol 27203-92-5, Tramadol 42408-82-2, Butorphanol 51931-66-9, Tilidine 52485-79-7, Buprenorphine 53648-55-8, Dezocine 54340-58-8, Meptazinol 56030-54-7, 71195-58-9, Alfentanil 80456-81-1, O-Demethyltramadol 132875-61-7, Remifentanyl 138853-73-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Combination of selected opioids with other active substances for use in the therapy of urinary incontinence)

IT 186033-14-7, NS 8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(NS 8; opioid combination with other active substances for treatment of urinary incontinence)

IT 52-28-8, Codeine phosphate 57444-62-9, Resiniferatoxin 92725-18-3D, derivs. 93413-69-5, Venlafaxine 142155-43-9, Cizolirtine 158836-71-6, Nitro-Flurbiprofen 174636-32-9, Talnetant 175590-75-7

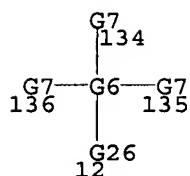
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RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(opioid combination with other active substances for treatment of urinary incontinence)

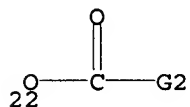
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
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- (1) Durand, A; PRESSE MEDICALE 2000, V29(16), P917
- (2) Gruenenthal GmbH; DE 19947747 A 2001 CAPLUS
- (3) Kroner, B; JOURNAL OF GERIATRIC DRUG THERAPY 1992, V7(1), P23
- (4) Malinovsky, J; ANESTHESIA AND ANALGESIA 1998, V87(2), P456 CAPLUS
- (5) McNutt, R; US 5658908 A 1997 CAPLUS
- (6) Novosis Pharma Ag; EP 1072260 A 2001 CAPLUS
- (7) Palmer, K; GASTROENTEROLOGY 1980, V79(6), P1272 MEDLINE
- (8) Pandita, R; NEUROUROLOGY AND URODYNAMICS, 31st Annual Meeting of the International Continence Society 2001, V20(4), P439
- (9) Ripple, M; AMERICAN JOURNAL OF FORENSIC MEDICINE AND PATHOLOGY 2000, V21(4), P370 MEDLINE





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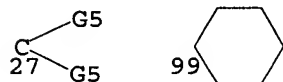
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(Specifically claimed: Me)

G3 = carbon chain <containing 1-4 C> (opt. substd.) /

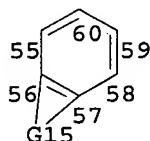
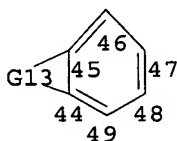
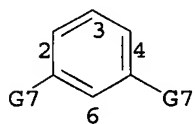
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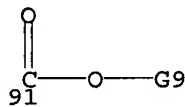
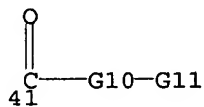
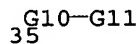
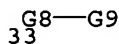
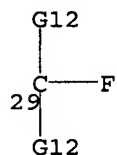


G5 = H / carbon chain <containing 1-4 C> (opt. substd.) /  
(Specifically claimed: Me / Et / Pr-i / Bu-t)

G6 = 2-136 3-134 4-135 6-12 /  
46-136 47-134 48-135 49-12 / 55-136 59-134 58-135 60-12

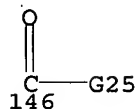
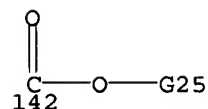
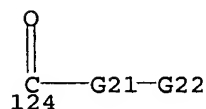
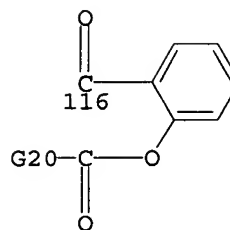
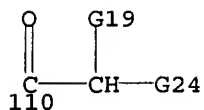
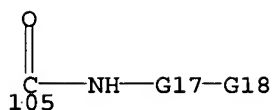
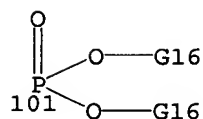


G7 = H / F / Cl / Br / I / 29 / OH / SH / 33 / OCF3 /  
NH2 / 35 / SO2Me / SO2CF3 / CN / 91 / NO2 / CONH2 / 41 /  
carbon chain <containing 1-6 C> (opt. substd.) /  
Ph (opt. substd.)

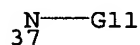


G8 = O / S

G9 = carbon chain <containing 1-6 C> (opt. substd.) /  
pyridyl / thienyl / thiazolyl / Ph / CH2Ph / CH2CH2Ph / 101 /  
142 / 105 / 146 / 110 / 116 / 124 /  
(Specifically claimed: Me)



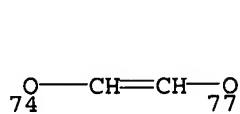
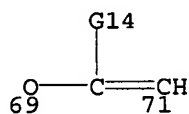
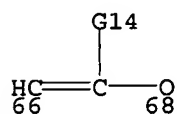
G10 = NH / 37



G11 = carbon chain <containing 1-6 C> (opt. substd.) /  
Ph (opt. substd.) / CH<sub>2</sub>Ph (opt. substd.) /  
CH<sub>2</sub>CH<sub>2</sub>Ph (opt. substd.)

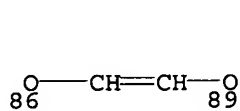
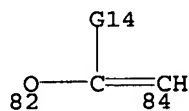
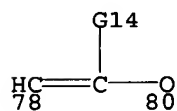
G12 = H / F

G13 = OCH<sub>2</sub>O / OCH<sub>2</sub>CH<sub>2</sub>O / 66-45 68-44 / 69-45 71-44 /  
CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub> / 74-45 77-44



G14 = H / Me

G15 = OCH<sub>2</sub>O / OCH<sub>2</sub>CH<sub>2</sub>O / 78-56 80-57 / 82-56 84-57 /  
CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub> / 86-56 89-57



G16 = carbon chain <containing 1-4 C> (opt. substd.)

G17 = phenylene

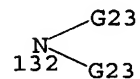
G18 = alkyl <containing 1-3 C>

G19 = H / carbon chain <containing 1-6 C> (opt. substd.) /  
Ph (opt. substd.) / CH<sub>2</sub>Ph (opt. substd.) /  
CH<sub>2</sub>CH<sub>2</sub>Ph (opt. substd.)

G20 = carbon chain <containing 1-3 C> (opt. substd.)

G21 = m-C<sub>6</sub>H<sub>4</sub> / p-C<sub>6</sub>H<sub>4</sub>

G22 = 132 / morpholino

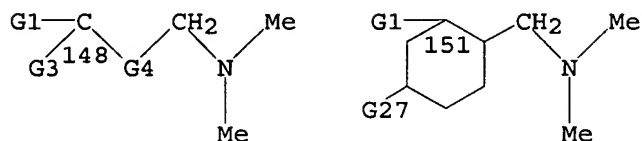


G23 = carbon chain <containing 1-4 C> (opt. substd.)

G24 = NH<sub>2</sub> / 137

HN—G11  
137

G25 = carbon chain <containing 1-5 C> (opt. substd.)  
G26 = 148 / 151



G27 = alkyl <containing 1-4 C> / CH2Ph / CF3 / OH /  
OCH2Ph / alkoxy <containing 1-4 C> / Cl / F / H /  
(Specifically claimed: Me)

Patent location: claim 1

Note: and/or physiologically acceptable salts

Stereochemistry: and enantiomers, diastereomers and mixtures

=> SET NOTICE LOGIN DISPLAY

NOTICE SET TO OFF FOR DISPLAY COMMAND  
SET COMMAND COMPLETED

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

8.14

69.72

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-0.71

-7.46

FILE 'STNGUIDE' ENTERED AT 14:43:44 ON 02 NOV 2006  
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT  
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY, JAPAN SCIENCE  
AND TECHNOLOGY CORPORATION, AND FACHINFORMATIONSZENTRUM KARLSRUHE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Oct 27, 2006 (20061027/UP).

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

---Logging off of STN---

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.30

70.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-7.46

STN INTERNATIONAL LOGOFF AT 14:46:58 ON 02 NOV 2006

Connection closed by remote host  
END

Unable to generate the STN prompt.  
Exiting the script...

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptalknl621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS	3	JAN 16	CA/Caplus Company Name Thesaurus enhanced and reloaded
NEWS	4	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS	5	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS	6	JAN 22	CA/Caplus updated with revised CAS roles
NEWS	7	JAN 22	CA/Caplus enhanced with patent applications from India
NEWS	8	JAN 29	PHAR reloaded with new search and display fields
NEWS	9	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS	10	FEB 15	PATDPASPC enhanced with Drug Approval numbers
NEWS	11	FEB 15	RUSSIAPAT enhanced with pre-1994 records
NEWS	12	FEB 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS	13	FEB 26	MEDLINE reloaded with enhancements
NEWS	14	FEB 26	EMBASE enhanced with Clinical Trial Number field
NEWS	15	FEB 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS	16	FEB 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS	17	FEB 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS	18	MAR 15	WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS	19	MAR 16	CASREACT coverage extended
NEWS	20	MAR 20	MARPAT now updated daily
NEWS	21	MAR 22	LWPI reloaded
NEWS	22	MAR 30	RDISCLOSURE reloaded with enhancements
NEWS	23	APR 02	JICST-EPLUS removed from database clusters and STN
NEWS	24	APR 30	GENBANK reloaded and enhanced with Genome Project ID field
NEWS	25	APR 30	CHEMCATS enhanced with 1.2 million new records
NEWS	26	APR 30	CA/Caplus enhanced with 1870-1889 U.S. patent records
NEWS	27	APR 30	INPADOC replaced by INPADOCDB on STN
NEWS	28	MAY 01	New CAS web site launched
NEWS	29	MAY 08	CA/Caplus Indian patent publication number format defined
NEWS	30	MAY 14	RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS	31	MAY 21	BIOSIS reloaded and enhanced with archival data
NEWS	32	MAY 21	TOXCENTER enhanced with BIOSIS reload